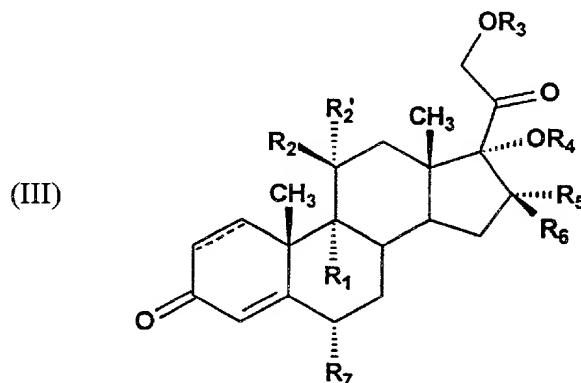


What is claimed is:

1. A composition for the treatment of a condition treatable by the systemic administration of a glucocorticosteroid, comprising that glucocorticosteroid and a pharmaceutically acceptable carrier therefor, characterized in that the glucocorticosteroid is a derivative in the form of a glycoside or orthoester glycoside, or salt or ester of the derivative.

2. The composition of claim 1, wherein the glucocorticosteroid has the Formula (III):



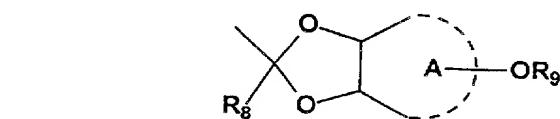
wherein the dotted line represents a single or a double bond;

R₁ is hydrogen or halogen;

R₂ is OH;

25 R₂' is hydrogen or alternatively R₂ and R₂', together with the atom to which they are bound, are joined to form a carbonyl;

R₃ is a straight or branched chain glycosidic residue containing 1-20 glycosidic units per residue, or R₃ is an orthoester glycoside moiety of the Formula (II):



35 wherein A represents a glycofuranosyl or glycopyranosyl ring;

R₈ is hydrogen;

R₉ is hydrogen or a straight or branched chain glycosidic residue containing 1-20 glycosidic units per residue;

R₄ is hydrogen, -C(O)cycloalkyl-substituted alkyl, -C(O)aryl, -

C(O)heterocyclo-substituted alkyl or -C(O)heteroaryl;

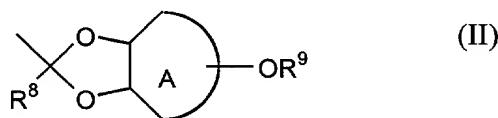
R₅ is hydrogen, alkyl, hydroxyl or alternatively R₄ and R₅, together with the atoms to which they are bound, are joined to form an acetonide;

R₆ is hydrogen or alkyl;

5 R₇ is hydrogen, halogen or alkyl.

3. The composition of claim 1, wherein said derivative is a glycoside containing 1-20 glycosidic units.

10 4. The composition of claim 1, wherein said derivative is a glycosidic orthoester having the Formula (II):



15 wherein A represents a glycofuranosyl or glycopyranosyl ring or amino derivative thereof;

R⁸ is hydrogen, C₁₋₄ alkyl, C₇₋₁₀ aralkyl, phenyl; or phenyl substituted by chloro, fluoro, bromo, iodo, C₁₋₄ alkyl or C₁₋₄ alkoxy; or naphthyl; and

20 R⁹ is hydrogen or a straight or branched chain glycosidic residue containing 1-20 glycosidic units per residue.

25 5. The composition of claim 1, wherein said derivative is a monoglycoside.

6. The composition of claim 5, wherein said glycosidic unit is a glucoside.

30 7. The composition of claim 1, wherein said glucocorticosteroid is one that does not have a high first pass metabolism in the liver.

8. The composition of claim 1, wherein said glucocorticosteroid is betamethasone, dexamethasone, triamcinolone acetonide, hydrocortisone, methylprednisolone, prednisolone or prednisone.

35 9. The composition of claim 1, wherein said glucocorticosteroid is prednisone-21-O-1'- β' -glucopyranoside.

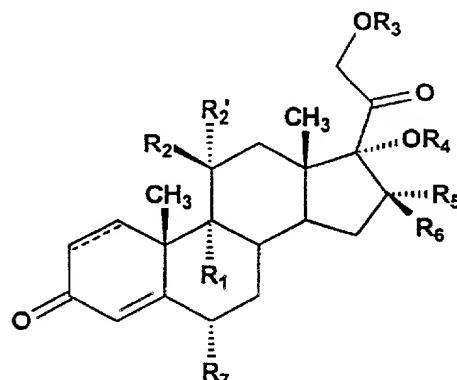
40 10. The composition of claim 1, wherein said glucocorticosteroid is prednisolone-21-O-1'- β' -glucopyranoside.

11. A glucocorticosteroid derivative in the form of a glycoside or orthoester glycoside, or salt or ester of thereof, wherein said glucocorticosteroid does not have a high first pass metabolism in the liver.

5

12. The glucocorticosteroid derivative according to claim 11, having the formula:

10



15

wherein the dotted line represents a single or a double bond;

20

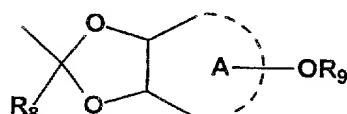
R₁ is hydrogen or halogen;

R₂ is OH;

25 R₂' is hydrogen or alternatively R₂ and R₂', together with the atom to which they are bound, are joined to form a carbonyl;

R₃ is a straight or branched chain glycosidic residue containing 1-20 glycosidic units per residue, or R₃ is an orthoester glycoside moiety of the Formula (II):

25



30

wherein A represents a glycofuranosyl or glycopyranosyl ring;

R₈ is hydrogen;

35 R₉ is hydrogen or a straight or branched chain glycosidic residue containing 1-20 glycosidic units per residue;

R₄ is hydrogen, -C(O)cycloalkyl substituted alkyl or -C(O)aryl;

R₅ is hydrogen, alkyl, hydroxyl or alternatively R₄ and R₅, together with the atoms to which they are bound, are joined to form an acetonide;

R₆ is hydrogen or alkyl; and

R₇ is hydrogen, halogen or alkyl.

40

13. The composition of claim 1, wherein said condition is adrenal insufficiency.

5 14. The composition of claim 13, wherein said condition is associated with Addison's disease or congenital hyperplasia.

15 15. The composition of claim 1, wherein said condition is an inflammatory or immune system disease.

10 16. The composition of claim 15, wherein said condition is a non-infectious acute ocular inflammation, cerebral edema, infantile massive spasms, an acute allergic disorder, arthritis, rheumatism, nephrotic syndrome, a skin disease, respiratory distress syndrome in infants and an immune system disease.

15 17. A method for the treatment or amelioration of an inflammatory disease, comprising administering by topical, intranasal or inhalation to an animal in need thereof, an effective amount of the compound of the glucocorticosteroid derivative of claim 11.

20 18. The method of claim 17, wherein said glucocorticosteroid derivative is administered as part of a pharmaceutical composition comprising a pharmaceutically acceptable carrier therefor.

25 19. A method for the treatment or amelioration of an inflammatory disease, comprising the oral administration to an animal in need thereof, an effective amount of the compound of the glucocorticosteroid derivative of claim 11.

30 20. The method of claim 19, wherein said glucocorticosteroid derivative is administered as part of a pharmaceutical composition comprising a pharmaceutically acceptable carrier therefor.